## WHAT IS CLAIMED IS:

 A method of enhancing active agent localization at a target site in a mammalian recipient, which method comprises:

administering to the recipient a first conjugate comprising a targeting moiety and a biotin, whereupon the first conjugate localizes to the target site;

administering to the recipient avidin or streptavidin; and

thereafter administering to the recipient a second conjugate comprising biotin, a linker resistant to biotinidase cleavage and an active agent, wherein second conjugate localization at the target site is enhanced as a result of prior localization of the first conjugate.

- 2. A method of claim 1 wherein the targeting moiety is proteinaceous.
- 3. A method of claim 1 wherein the targeting moiety is an oligonucleotide, a peptide, a polypeptide, a cytokine, a monoclonal antibody, a monovalent fragment thereof.
- 4. A method of claim 3 wherein the monoclonal antibody is a human, a humanized or a chimeric monoclonal antibody.
- 5. A method of claim 3 wherein the monoclonal antibody or fragment thereof is reactive with an antigen recognized by the antibody NR-LU-10.
- A method of claim 1 wherein the active agent is selected from the group consisting of

radionuclides, chemotherapeutic drugs, anti-tumor agents and toxins.

- 7. A method of claim 6 wherein the active agent is a radionuclide selected from the group consisting of Re-186, Re-188, Tc-99m, Y-90, At-211, Pb-212, Bi-212, Sm-153, Eu-169, Lu-177, Cu-67, Rh-105, In-111, Au-198, I-123 and I-131.
- 8. A method of claim 6 wherein the active agent is a cytokine or a lectin inflammatory response promoter.
- 9. A method of claim 1 wherein the step of administering the second conjugate is conducted by intralesional or intraarterial injection.
- 10. A method of claim 9 wherein the second conjugate is administered via an artery supplying target site tissue.
- 11. A method of claim 9 wherein the second conjugate is administered via an artery selected from the group consisting of hepatic artery, carotid artery, bronchial artery and renal artery.
- 12. A method of claim 1 wherein the second conjugate is administered intravenously.
- 13. A method of claim 1 wherein the second conjugate comprises a biotin-DOTA compound of the following formula:

wherein a linker L is selected from the group comprising:

1) a D-amino acid-containing linker of the formula

2) a linker of the formula

3) a linker of the formula

4) a linker of the formula

$$-CH_2$$
— $L'$ , wherein  $L'$  is selected from

the group comprising:

- a) -NH-CO-(CH<sub>2</sub>),-O-;
- b) -NH- ;
- c) -NH-CO-CH<sub>2</sub>-N-R''-;
- d) -NH-CS-NH-; and
- e) -NH-CO-(CH<sub>2</sub>) -NH-,

wherein  $R^1$  is hydrogen, lower alkyl; lower alkyl substituted with one or more hydrophilic groups including  $(CH_2)_n$ -OH,  $(CH_2)_n$ -OSO<sub>3</sub>,  $(CH_2)_n$ -SO<sub>3</sub>, and

glucuronide-substituted amino acids; or other glucuronide derivatives;

R<sup>2</sup> is hydrogen; lower alkyl; substituted lower alkyl having one or more substituents selected from the group comprising hydroxy, sulfate, and phosphonate; or a hydrophilic mojety:

R<sup>3</sup> is hydrogen; an amine; a lower alkyl; a hydroxy-, sulfate- or phosphonate-substituted lower alkyl; a glucuronide; or a glucuronide-derivatized amino acid;

R4 is hydrogen, lower alkyl or

R' is hydrogen;  $-(CH_2)_2$ -OH or a sulfate or

phosphonate derivative thereof; or OH

R'' is a bond or  $-(CH_2)_n$ -CO-NH- ; and n ranges from 0-5.

14. A method of claim 13 wherein L is a D-amino acid-incorporating linker of the formula

- 15. A method of claim 14 wherein  $\ensuremath{R^1}$  is  $\ensuremath{\text{CH}_3}$  and  $\ensuremath{\text{R}^2}$  is H.
- 16. A method of claim 13 wherein L is a linker of the formula

- 17. A method of claim 16 wherein  $\mathbb{R}^3$  is hydrogen;  $\mathbb{R}^4$  is  $CH_3$ ; and n is 4.
- 18. A method of claim 16 wherein  $\mathbb{R}^3$  is hydrogen;  $\mathbb{R}^4$  is  $CH_{\tau}$ ; and n is 0.
- 19. A method of claim 16 wherein  $\mathbb{R}^3$  is hydrogen;  $\mathbb{R}^4$  is

$$-(CH_2)_5-CO-NH-$$
 CH2-DOTA; and n is 4.

20. A method of claim 13 wherein L is a linker of the formula

the group comprising:

- a) -NH-CO-(CH<sub>2</sub>)<sub>n</sub>-O-;
- b) -NH- ;
- c) —NH-CO-CH<sub>2</sub>-N-R"-;
- d) -NH-CS-NH-; and
- e) -NH-CO-(CH2) $_{n}$ -NH- or a bis-DOTA derivative thereof.